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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4
DICTIONARY FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

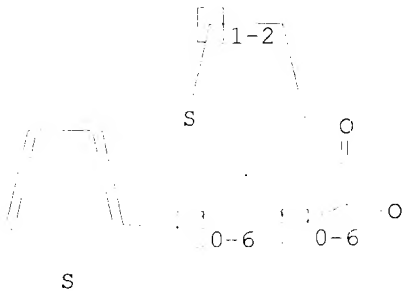
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP
PROPERTIES for more information. See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09868894.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

09868894

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 9	Jun 03	New e-mail delivery for search results now available
NEWS 10	Jun 10	MEDLINE Reload
NEWS 11	Jun 10	PCTFULL has been reloaded
NEWS 12	Jul 02	FOREGE no longer contains STANDARDS file segment
NEWS 13	Jul 22	USAN to be reloaded July 28, 2002; saved answer sets no longer valid
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NEWS 15	Jul 30	NETFIRST to be removed from STN
NEWS 16	Aug 08	CANCERLIT reload
NEWS 17	Aug 08	PHARMAMarketLetter(PHARMAML) - new on STN
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NEWS 24	Sep 16	Experimental properties added to the REGISTRY file
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NEWS 26	Sep 16	CA Section Thesaurus available in CAPLUS and CA
NEWS 27	Oct 01	CASREACT Enriched with Reactions from 1907 to 1985

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```
=> s l1 sss sam
SAMPLE SEARCH INITIATED 12:09:49 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -     82 TO ITERATE

100.0% PROCESSED      82 ITERATIONS                                 0 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         1097 TO     2183
PROJECTED ANSWERS:               0 TO        0

L2             0 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 12:09:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -   1660 TO ITERATE

100.0% PROCESSED    1660 ITERATIONS                                15 ANSWERS
SEARCH TIME: 00.00.06

L3            15 SEA SSS FUL L1

=> fil caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   140.28     140.49

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FILE COVERS 1907 - 9 Oct 2002  VOL 137 ISS 15
FILE LAST UPDATED: 8 Oct 2002  (20021008/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

CAS roles have been modified effective December 16, 2001. Please
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information on CAS roles, enter HELP ROLES at an arrow prompt or use
the CAS Roles thesaurus (/RL field) in this file.

=> s l3 full
L4             1 L3
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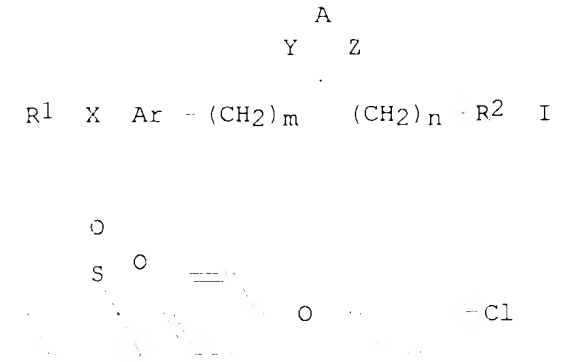
09868894

=> d l4 ibib abs hitstr

L4 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:475658 CAPLUS
DOCUMENT NUMBER: 133:104964
TITLE: Preparation of tetrahydro-2H-thiopyran-1,1-dioxides as inhibitors of matrix metalloproteinases or tumor necrosis factor .alpha.
INVENTOR(S): Taniguchi, Kiyoshi; Neya, Masahiro; Terasawa, Takeshi; Yamazaki, Hitoshi; Sato, Kentaro; Hosoi, Kumi; Tomishima, Yasuyo; Yoshida, Noriko; Imamura, Yoshimasa; Takasugi, Hisashi; Setoi, Hiroyuki
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 336 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040576	A2	20000713	WO 2000-JP18	20000106
WO 2000040576	A3	20010322		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140895	A2	20011010	EP 2000-900122	20000106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008589	A	20020129	BR 2000-8589	20000106

PRIORITY APPLN. INFO.: AU 1999-8068 A 19990107
AU 1999-1702 A 19990719
WO 2000-JP18 W 20000106
OTHER SOURCE(S): MARPAT 133:104964
GI



I

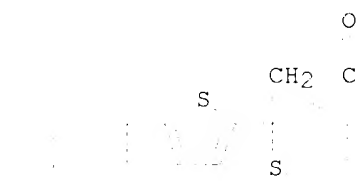
II

AB The title compds. (I) [wherein R1 = alkyl, halogen, (un)substituted heterocyclic or aryl; R2 = (protected or amidated) carboxy; Ar = (un)substituted aryl heterocyclic; A = alkylene; X = O or a single bond; Y = S, S(O), or SO2; Z = methylene, S, S(O), or SO2; m and n = independently 0-6, and 1 .ltoreq. m+n .ltoreq. 6] and their salts were prepd. by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides. For example, II was synthesized in a multi-step sequence involving (1) etherification of 3,4,5,6-tetrahydro-2-(4-hydroxyphenyl)-2H-thiopyran (prepation given) with 4-bromochlorobenzene, (2) addn. of tert-Bu bromoacetate, (3) formation of the 1,1-dioxide using oxone, (4) deesterification with CF3CO2H, and (5) amidation of the acid with hydroxylammonium chloride. In an in vitro assay, II suppressed matrix metalloproteinase 13 (MMP-13) activity with IC50 of 2.2 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other disease characterized by MMP activity, as well as IADS, sepsis, septic shock, and other diseases caused by the prodn. of TNF .alpha. (no data).

IT **282111-59-5P 282112-21-4P 282112-62-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

RN 282111-59-5 CAPLUS

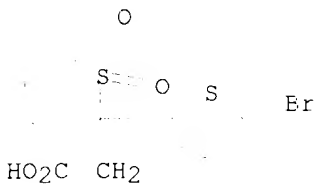
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



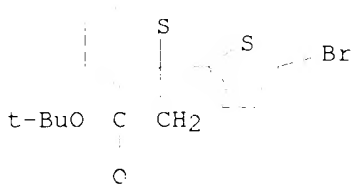
F

C9868894

RN 282112-21-4 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

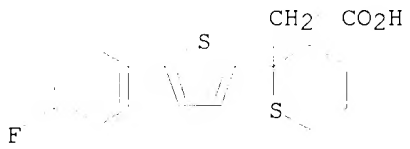


RN 282112-62-3 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



IT **282111-40-4P 282111-49-3P 282111-65-3P**
282111-66-4P 282112-13-4P 282112-20-3P
282115-44-0P 282115-45-1P 282115-46-2P
282115-47-3P 282533-82-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

RN 282111-40-4 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro- (9CI) (CA INDEX NAME)



RN 282111-49-3 CAPLUS
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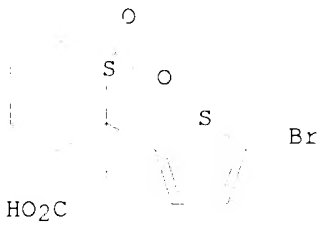
Rotation (-).



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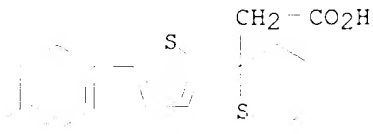

RN 282111-66-4 CAPLUS
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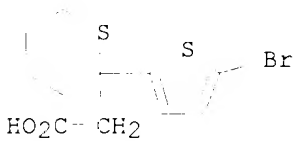

RN 282112-13-4 CAPLUS
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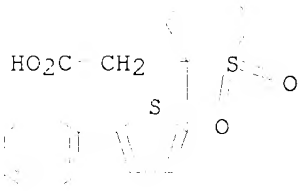

RN 282112-20-3 CAPLUS
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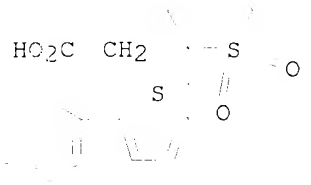

Cl

RN 282115-44-0 CAPLUS
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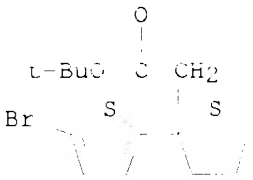

RN 282115-45-1 CAPLUS
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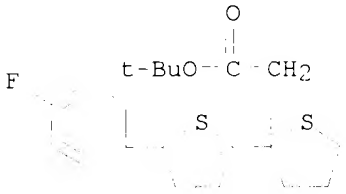

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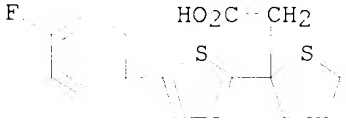

RN 282115-47-3 CAPLUS
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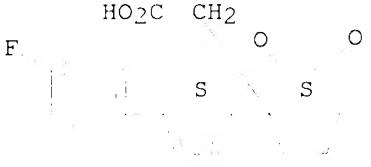
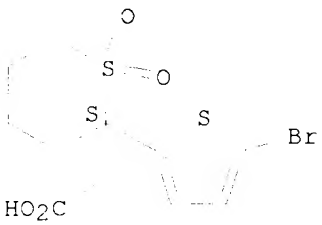


RN 282533-82-8 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide, (2S)- (9CI) (CA INDEX NAME)

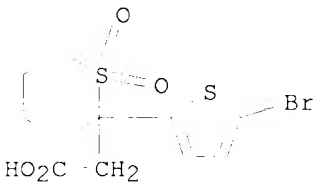
Absolute stereochemistry.



IT **282117-06-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)
RN 282117-06-0 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide, compd. with (.alpha.R)-.alpha.-methylbenzenemethanamine (1:1) (9CI) (CA INDEX NAME)

CM 1

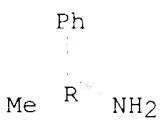
CRN 282112-21-4
CMF C11 H13 Br O4 S2



CM 2

CRN 3886-69-9
CMF C8 H11 N

Absolute stereochemistry.



09868894

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 NEWS  4  Apr 09  ZDB will be removed from STN
 NEWS  5  Apr 19  US Patent Applications available in IFICDB, IFIPAT, and IFIUDB
 NEWS  6  Apr 22  Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
 NEWS  7  Apr 22  BIOSIS Gene Names now available in TOXCENTER
 NEWS  8  Apr 22  Federal Research in Progress (FEDRIP) now available
 NEWS  9  Jun 03  New e-mail delivery for search results now available
 NEWS 10  Jun 10  MEDLINE Reload
 NEWS 11  Jun 10  PCTFULL has been reloaded
 NEWS 12  Jul 02  FOREGE no longer contains STANDARDS file segment
 NEWS 13  Jul 22  USAN to be reloaded July 28, 2002;
                  saved answer sets no longer valid
 NEWS 14  Jul 29  Enhanced polymer searching in REGISTRY
 NEWS 15  Jul 30  NETFIRST to be removed from STN
 NEWS 16  Aug 08  CANCERLIT reload
 NEWS 17  Aug 08  PHARMAMarketLetter(PHARMAML) - new on STN
 NEWS 18  Aug 08  NTIS has been reloaded and enhanced
 NEWS 19  Aug 19  Aquatic Toxicity Information Retrieval (AQUIRE)
                  now available on STN
 NEWS 20  Aug 19  IFIPAT, IFICDB, and IFIUDB have been reloaded
 NEWS 21  Aug 19  The MEDLINE file segment of TOXCENTER has been reloaded
 NEWS 22  Aug 26  Sequence searching in REGISTRY enhanced
 NEWS 23  Sep 03  JAPIO has been reloaded and enhanced
 NEWS 24  Sep 16  Experimental properties added to the REGISTRY file
 NEWS 25  Sep 16  Indexing added to some pre-1967 records in CA/CAPLUS
 NEWS 26  Sep 16  CA Section Thesaurus available in CAPLUS and CA
 NEWS 27  Oct 01  CASREACT Enriched with Reactions from 1907 to 1985

 NEWS EXPRESS  February 1 CURRENT WINDOWS VERSION IS V6.0d,
               CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
               AND CURRENT DISCOVER FILE IS DATED 05 FEBRUARY 2002
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FILE 'HOME' ENTERED AT 12:20:22 ON 09 OCT 2002

=> fil reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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STRUCTURE FILE UPDATES: 7 OCT 2002 HIGHEST RN 459783-15-4
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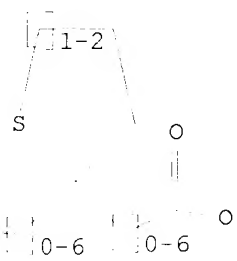
Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=>
Uploading 09868894b.str

L1 STRUCTURE UPLOADED

=> d
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

09868894

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=> s l1 sss sam
SAMPLE SEARCH INITIATED 12:20:46 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    921 TO ITERATE

100.0% PROCESSED     921 ITERATIONS                                4 ANSWERS
SEARCH TIME: 00.00.02

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:        16600 TO    20240
PROJECTED ANSWERS:               4 TO      200

L2             4 SEA SSS SAM L1

=> s l1 full
FULL SEARCH INITIATED 12:20:53 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  19418 TO ITERATE

100.0% PROCESSED   19418 ITERATIONS                              73 ANSWERS
SEARCH TIME: 00.00.04

L3            73 SEA SSS FUL L1

=> fil cap;us
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                   ENTRY    SESSION
FULL ESTIMATED COST                               140.28     140.49

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FILE COVERS 1907 - 9 Oct 2002  VOL 137 ISS 15
FILE LAST UPDATED: 8 Oct 2002  (20021008/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.

CAS roles have been modified effective December 16, 2001. Please
check your SDI profiles to see if they need to be revised. For
information on CAS roles, enter HELP ROLES at an arrow prompt or use
the CAS Roles thesaurus (/RL field) in this file.


US IS NOT A RECOGNIZED COMMAND
The previous command name entered was not recognized by the system.
For a list of commands available to you in the current file, enter
```

09868894

"HELP COMMANDS" at an arrow prompt (=>).

=> fil caplus
COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION
FULL ESTIMATED COST | 0.40 | 140.89

FILE 'CAPLUS' ENTERED AT 12:21:05 ON 09 OCT 2002
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FILE COVERS 1907 - 9 Oct 2002 VOL 137 ISS 15
FILE LAST UPDATED: 8 Oct 2002 (20021008/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=> s l3 full
L4 17 L3

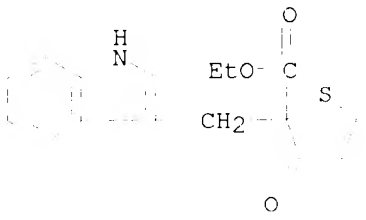
=> d l4 1-17 ibib abs hitstr

L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:481440 CAPLUS
DOCUMENT NUMBER: 135:210899
TITLE: The Use of Sulfur Ylides in the Synthesis of Substituted Indoles
AUTHOR(S): Kennedy, Abigail R.; Taday, Michael H.; Rainier, Jon D.
CORPORATE SOURCE: Department of Chemistry, The University of Arizona, Tucson, AZ, 85721, USA
SOURCE: Organic Letters (2001), 3(15), 2407-2409
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB C-10 thioindoles (3-ethylthiomethylindoles) undergo fragmentation-coupling reactions when exposed to rhodium carbenoids. In an analogous fashion, keto ester- and malonate-substituted carbenoids insert into indole C-2 thioethers. In contrast, vinylogous carbenoids alkylate indole C-2 thioethers at C-3.
IT **357981-89-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(substitution reactions of indole thioethers via sulfur ylides)

RN 357981-89-6 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-(1H-indol-3-ylmethyl)-3-oxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:475658 CAPLUS

DOCUMENT NUMBER: 133:104964

TITLE: Preparation of tetrahydro-2H-thiopyran-1,1-dioxides as inhibitors of matrix metalloproteinases or tumor necrosis factor .alpha.

INVENTOR(S): Taniguchi, Kiyoshi; Neya, Masahiro; Terasawa, Takeshi; Yamazaki, Hitoshi; Sato, Kentaro; Hosoi, Kumi; Tomishima, Yasuyo; Yoshida, Noriko; Imamura, Yoshimasa; Takasugi, Hisashi; Setoi, Hiroyuki

PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan

SOURCE: PCT Int. Appl., 336 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

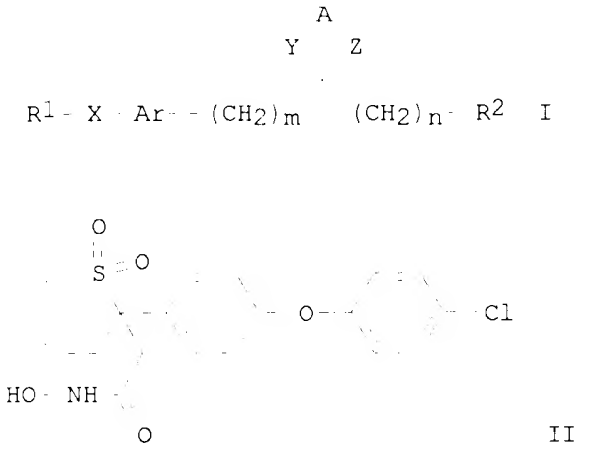
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000040576	A2	20000713	WO 2000-JP18	20000106
WO 2000040576	A3	20010322		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1140895	A2	20011010	EP 2000-900122	20000106
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 2000008589	A	20020129	BR 2000-8589	20000106

PRIORITY APPLN. INFO.: AU 1999-8068 A 19990107
AU 1999-1702 A 19990719
WO 2000-JP18 W 20000106

OTHER SOURCE(S): MARPAT 133:104964

GI



I

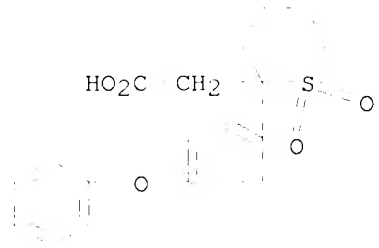
II

AB The title compds. (I) [wherein R1 = alkyl, halogen, (un)substituted heterocyclic or aryl; R2 = (protected or amidated) carboxy; Ar = (un)substituted aryl heterocyclic; A = alkylene; X = O or a single bond; Y = S, S(O), or SO2; Z = methylene, S, S(O), or SO2; m and n = independently 0-6, and 1 .ltoreq. m+n .ltoreq. 6] and their salts were prepd. by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides. For example, II was synthesized in a multi-step sequence involving (1) etherification of 3,4,5,6-tetrahydro-2-(4-hydroxyphenyl)-2H-thiopyran (prepation given) with 4-bromochlorobenzene, (2) addn. of tert-Bu bromoacetate, (3) formation of the 1,1-dioxide using oxone, (4) deesterification with CF3CO2H, and (5) amidation of the acid with hydroxylammonium chloride. In an in vitro assay, II suppressed matrix metalloproteinase 13 (MMP-13) activity with IC50 of 2.2 nM. I are useful for the treatment and/or prevention of diseases such as stroke, arthritis, cancer, tissue ulceration, decubitus ulcer, restenosis, periodontal disease, epidermolysis bullosa, scleritis, psoriasis, and other disease characterized by MMP activity, as well as IADS, sepsis, septic shock, and other diseases caused by the prodn. of TNF .alpha. (no data).

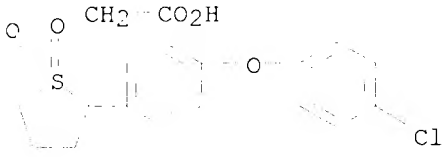
IT **282111-38-0P 282111-44-8P 282111-45-9P**
282111-46-0P 282111-48-2P 282111-59-5P
282111-61-9P 282112-11-2P 282112-21-4P
282112-23-6P 282112-26-9P 282112-62-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

RN 282111-38-0 CAPLUS

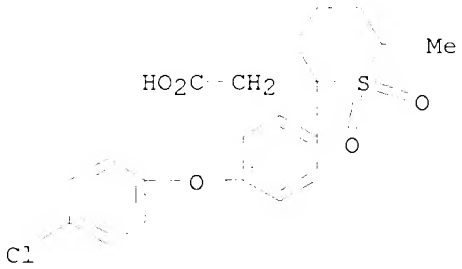
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 282111-44-8 CAPLUS
CN 2-Thiopheneacetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

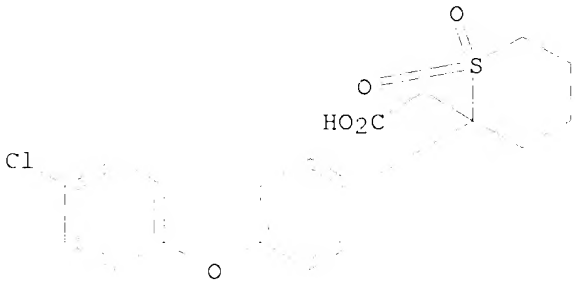


RN 282111-45-9 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-6-methyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



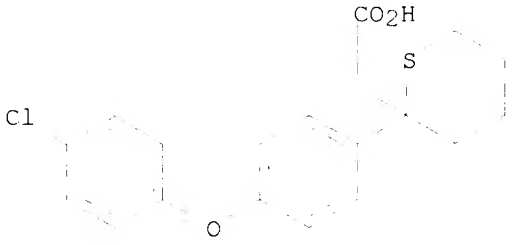
RN 282111-46-0 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-, 1,1-dioxide, (-)- (9CI) (CA INDEX NAME)

Rotation (-).

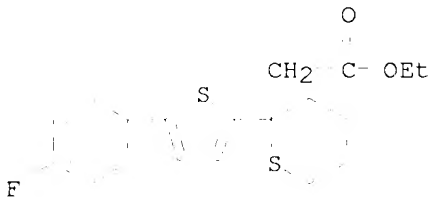


RN 282111-48-2 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-, (-)- (9CI) (CA INDEX NAME)

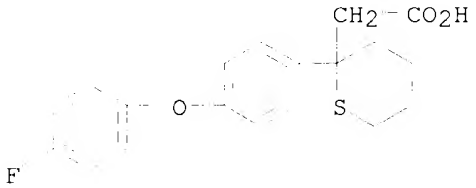
Rotation (-).



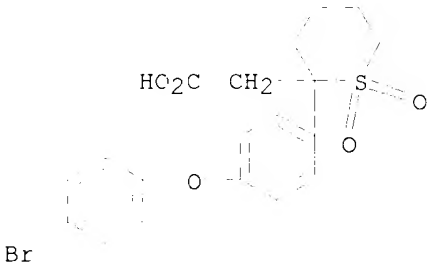
RN 282111-59-5 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-, ethyl ester (9CI) (CA INDEX NAME)



RN 282111-61-9 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-fluorophenoxy)phenyl]tetrahydro- (9CI) (CA INDEX NAME)

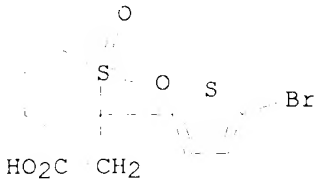


RN 282112-11-2 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-bromophenoxy)phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)

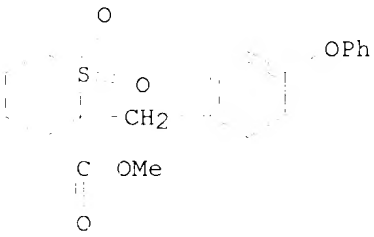


RN 282112-21-4 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide

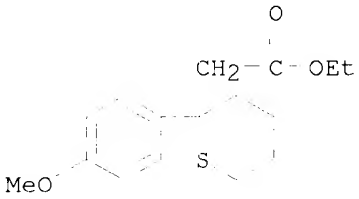
(9CI) (CA INDEX NAME)



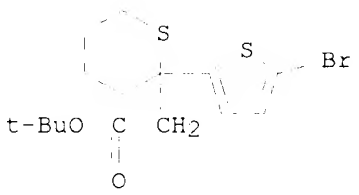
RN 282112-23-6 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-[(4-phenoxyphenyl)methyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 282112-26-9 CAPLUS
CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-methoxyphenyl)-, ethyl ester (9CI) (CA INDEX NAME)



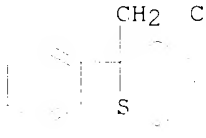
RN 282112-62-3 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



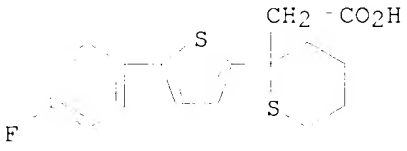
IT **282111-39-1P 282111-40-4P 282111-41-5P**
282111-42-6P 282111-47-1P 282111-49-3P
282111-50-6P 282111-57-3P 282111-58-4P
282111-60-8P 282111-62-0P 282111-63-1P
282111-64-2P 282111-65-3P 282111-66-4P

282112-10-1P 282112-12-3P 282112-13-4P
282112-14-5P 282112-15-6P 282112-16-7P
282112-17-8P 282112-19-0P 282112-20-3P
282112-22-5P 282112-24-7P 282112-25-8P
282115-44-0P 282115-45-1P 282115-46-2P
282115-47-3P 282533-82-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of tetrahydro-2H-thiopyran 1,1-dioxides as MMP or TNF .alpha. inhibitors by addn. reactions of alkyl or aryl halides with tetrahydro-2H-thiopyrans and subsequent oxidn. to form the 1,1-dioxides)

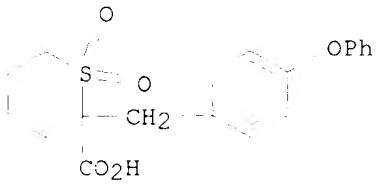
RN 282111-39-1 CAPLUS
CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



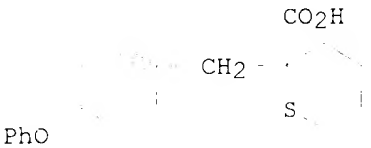
RN 282111-40-4 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro- (9CI) (CA INDEX NAME)



RN 282111-41-5 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-[(4-phenoxyphenyl)methyl]-, 1,1-dioxide (9CI) (CA INDEX NAME)

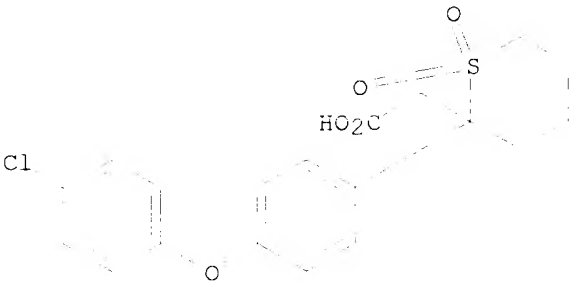


RN 282111-42-6 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-[(4-phenoxyphenyl)methyl]- (9CI) (CA INDEX NAME)



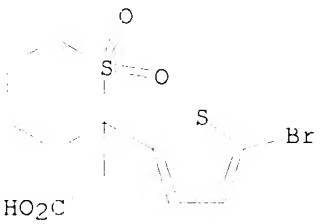
RN 282111-47-1 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro-, 1,1-dioxide, (+)- (9CI) (CA INDEX NAME)

Rotation (+).

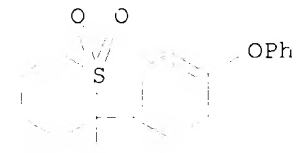


RN 282111-49-3 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro-, 1,1-dioxide, (-)- (9CI) (CA INDEX NAME)

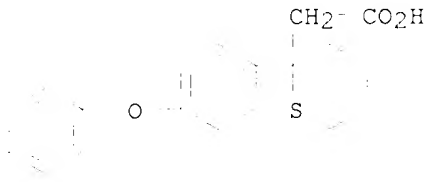
Rotation (-).



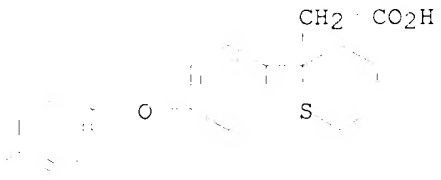
RN 282111-50-6 CAPLUS
CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-phenoxyphenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



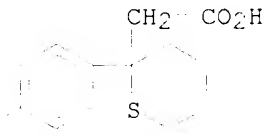
RN 282111-57-3 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-chlorophenoxy)phenyl]tetrahydro- (9CI) (CA INDEX NAME)



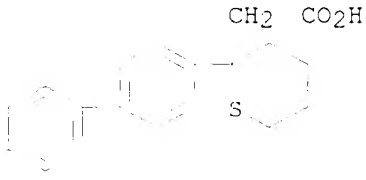
RN 282111-58-4 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-bromophenoxy)phenyl]tetrahydro- (9CI) (CA INDEX NAME)



RN 282111-60-8 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[1,1'-biphenyl]-4-yltetrahydro- (9CI) (CA INDEX NAME)

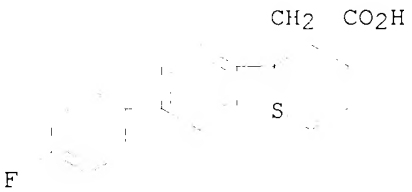


RN 282111-62-0 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(4'-chloro[1,1'-biphenyl]-4-yl)tetrahydro- (9CI) (CA INDEX NAME)

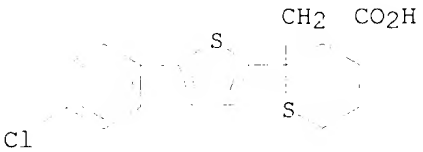


RN 282111-63-1 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(4'-bromo[1,1'-biphenyl]-4-yl)tetrahydro- (9CI) (CA INDEX NAME)

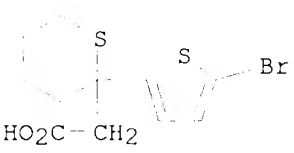
RN 282111-64-2 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(4'-fluoro[1,1'-biphenyl]-4-yl)tetrahydro- (9CI) (CA INDEX NAME)



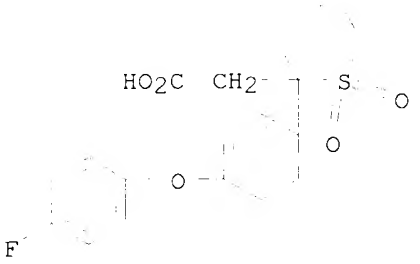
RN 282111-65-3 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-chlorophenyl)-2-thienyl]tetrahydro- (9CI) (CA INDEX NAME)



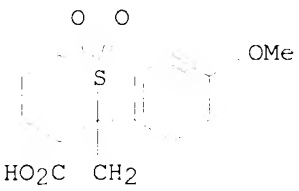
RN 282111-66-4 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(5-bromo-2-thienyl)tetrahydro- (9CI) (CA INDEX NAME)



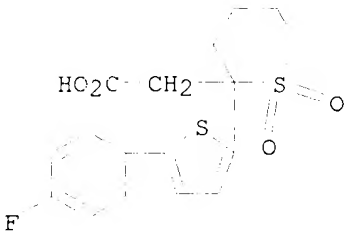
RN 282112-10-1 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-fluorophenoxy)phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



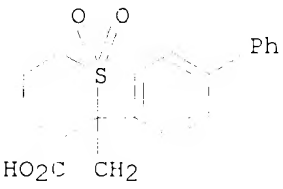
RN 282112-12-3 CAPLUS
CN 2H-Thiopyran-2-acetic acid, tetrahydro-2-(4-methoxyphenyl)-, 1,1-dioxide (9CI) (CA INDEX NAME)



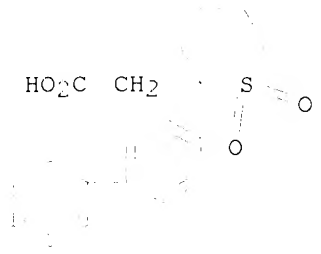
RN 282112-13-4 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-fluorophenyl)-2-thienyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



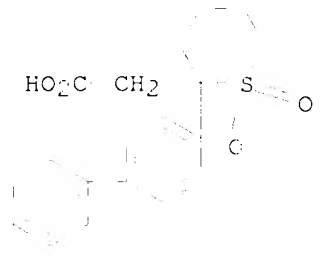
RN 282112-14-5 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[1,1'-biphenyl]-4-yltetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



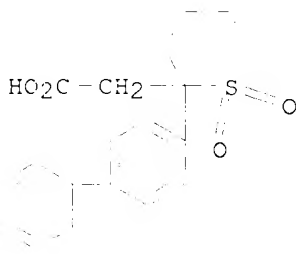
RN 282112-15-6 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(4'-chloro[1,1'-biphenyl]-4-yl)tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



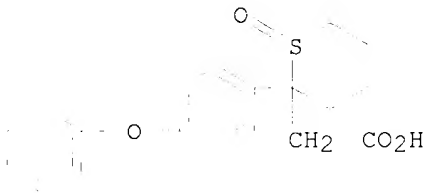
RN 282112-16-7 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(4'-bromo[1,1'-biphenyl]-4-yl)tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 282112-17-8 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-(4'-fluoro[1,1'-biphenyl]-4-yl)tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



RN 282112-19-0 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[4-(4-fluorophenoxy)phenyl]tetrahydro-, 1-oxide (9CI) (CA INDEX NAME)



RN 282112-20-3 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 2-[5-(4-chlorophenyl)-2-thienyl]tetrahydro-,

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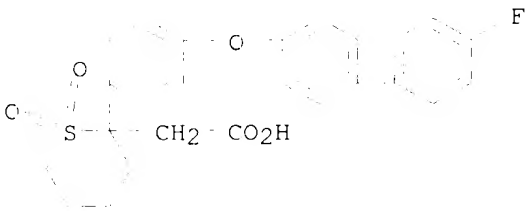
1,1-dioxide (9CI) (CA INDEX NAME)

<------User Break------>

RN 282112-22-5 CAPLUS
CN 2H-Thiopyran-2-acetic acid, 3-[4-[(4'-fluoro[1,1'-biphenyl]-4-yl)oxy]phenyl]tetrahydro-, 1,1-dioxide (9CI) (CA INDEX NAME)



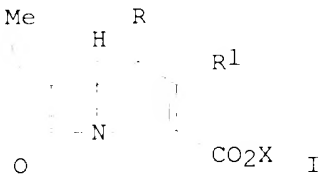
=> d l4 3-17 ibib abs hitstr

L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1998:424253 CAPLUS
DOCUMENT NUMBER: 129:95355
TITLE: Preparation of ethylidene derivatives of tricyclic carbapenems for use as antibiotics
INVENTOR(S): Copar, Anton; Solmajer, Tomaz; Anzic, Borut; Kuzman, Tadeja; Mesar, Tomaz; Kocjan, Darko
PATENT ASSIGNEE(S): Lek Tovarna Farmacevtskih In Kemicnih Izdelkov, Slovenia; Copar, Anton; Solmajer, Tomaz; Anzic, Borut; Kuzman, Tadeja; Mesar, Tomaz; Kocjan, Darko
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

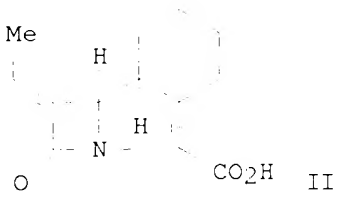
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9827094	A1	19980625	WO 1997-SI35	19971218
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9852375	A1	19980715	AU 1998-52375	19971218
EP 946558	A1	19991006	EP 1997-947251	19971218
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001506258	T2	20010515	JP 1998-527639	19971218

PRIORITY APPLN. INFO.: SI 1996-371 A 19961218
WO 1997-SI35 W 19971218
OTHER SOURCE(S): MARPAT 129:95355
GI

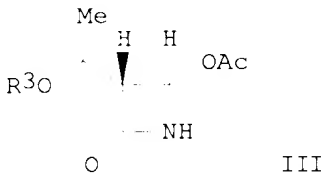
09868894



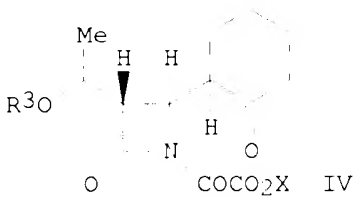
I



II



III



IV

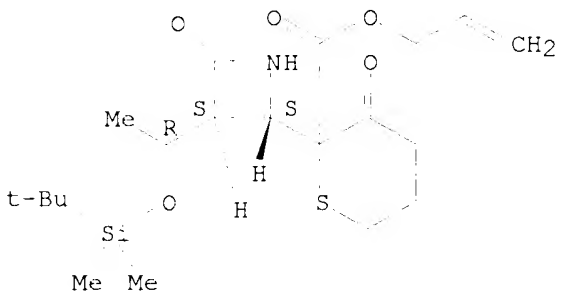
AB Tricyclic carbapenems I [RR1 = fused alicyclic or heterocyclic ring; X = H, alkyl, alkali metal, ammonium] were prepd. and pharmaceutical formulations were described for use as inhibitors of the action of the enzyme .beta.-lactamase and as antibiotics in human and veterinary medicine. Thus, carbapenem II was prepd. starting from Azetidon III (R3 = SiMe2CMe3) via the formation and intramol. cyclization of ester IV (R3 = SiMe2CMe3, X = allyl). The prepd. compds. were tested for .beta.-lactamase inhibitory activity.

IT **209536-83-4P 209536-84-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of ethylidene derivs. of tricyclic carbapenems for use as antibiotics)

RN 209536-83-4 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, 2-[(2S,3S)-3-[(1R)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-4-oxo-2-azetidinyl]tetrahydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

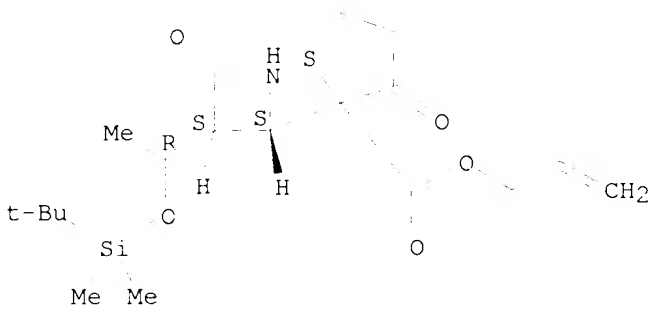


RN 209536-84-5 CAPLUS

CN 2-Thiophenecarboxylic acid, 2-[(2S,3S)-3-[(1R)-1-[[(1,1-dimethylethyl)dimethylsilyl]oxy]ethyl]-4-oxo-2-azetidinyl]tetrahydro-3-oxo-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09868894



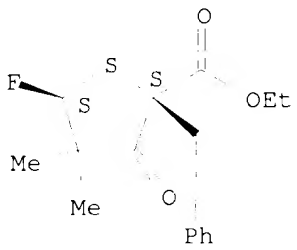
L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:638719 CAPLUS
DOCUMENT NUMBER: 127:307323
TITLE: Selective anodic monofluorination of sulfur-containing heterocycles: potent applications towards pharmaceuticals
AUTHOR(S): Fuchigami, Toshio
CORPORATE SOURCE: Dep. Electonic Chem., Tokyo Inst. Tech., Yokohama, 226, Japan
SOURCE: Phosphorus, Sulfur and Silicon and the Related Elements (1997), 120 & 121, 343-344
CODEN: PSSLEC; ISSN: 1042-6507
PUBLISHER: Gordon & Breach
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A symposium report with 3 refs. Regioselective anodic monofluorination of 3-thiolanones, 1,3-oxathiolanones, and 1,3-dithiolanones ws successfully carried out in MeCN contg. Et2N.3HF or Et4NF.4HF as a supporting electrolyte. Among the fluorinated products, 2-benzyl-4,4-dimethyl-2-ethoxycarbony-5-fluoro-3-thiolanone has comparable or even stronger in vitro human type II phospholipase A2 inhibitory activity than manoalide.

IT **169890-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and human type II phospholipase A2 inhibitory activity of)

RN 169890-90-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-fluorotetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-, ethyl ester, trans- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1995:666577 CAPLUS

09868894

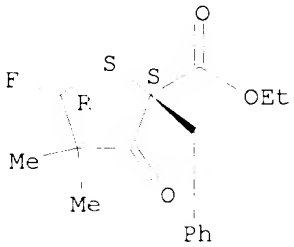
DOCUMENT NUMBER: 123:313666
TITLE: Electrolytic partial fluorination of organic compounds. 18. Electrosynthesis of 4,4-dimethyl-2-ethoxycarbonyl-5-fluoro-3-thiolanones: highly potent human type II PLA2 inhibitors
AUTHOR(S): Narizuka, Satoru; Fuchigami, Toshio
CORPORATE SOURCE: Dep. Electronic Chem., Tokyo Inst. Technol., Yokohama, 226, Japan
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(12), 1293-4
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:313666

AB Anodic monofluorination of 2-methyl- and 2-benzyl-4,4-dimethyl-2-ethoxycarbonyl-3-thiolanones was successfully performed to provide the corresponding 5-fluorinated products in good yields. The stereoisomeric mixt. of the fluorinated 2-benzyl compds. was found to possess comparable or even stronger in vitro human type II phospholipase A2 inhibitory activity compared with the known inhibitor, manoalide; the cis isomer exhibited higher activity than the trans isomer.

IT **169890-89-5P 169890-90-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(electrosynthesis of dimethyl(ethoxycarbonyl)fluorothiolanones as human type II PLA2 inhibitors)

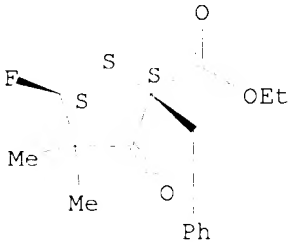
RN 169890-89-5 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-fluorotetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-, ethyl ester, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.

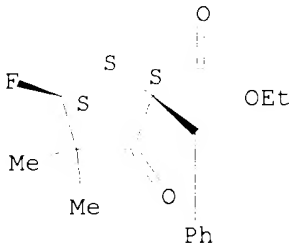


RN 169890-90-8 CAPLUS
CN 2-Thiophenecarboxylic acid, 5-fluorotetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-, ethyl ester, trans- (9CI) (CA INDEX NAME)

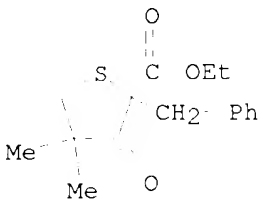
Relative stereochemistry.



09868894



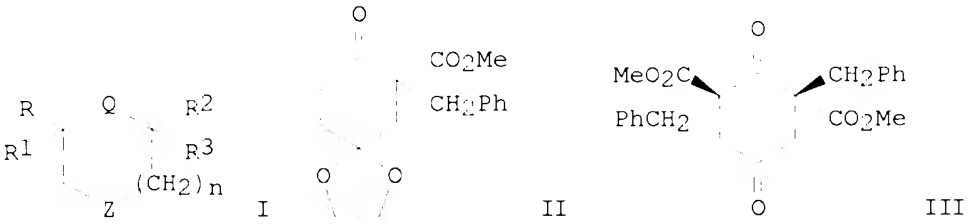
IT **169890-87-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(electrosynthesis of dimethyl(ethoxycarbonyl)fluorothiolanones as human type II PLA2 inhibitors)
RN 169890-87-3 CAPLUS
CN 2-Thiophenecarboxylic acid, tetrahydro-4,4-dimethyl-3-oxo-2-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:254446 CAPLUS
DOCUMENT NUMBER: 118:254446
TITLE: Carbocyclic and heterocyclic HIV protease inhibitors
INVENTOR(S): Chenera, Balan; Des Jarlais, Renee Louise; Dreyer, Geoffrey Bainbridge
PATENT ASSIGNEE(S): Smithkline Beecham Corp., USA
SOURCE: PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9221647	A1	19921210	WO 1992-US4705	19920604
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
JP 06508146	T2	19940914	JP 1992-500653	19920604
EP 641306	A1	19950308	EP 1992-914474	19920604
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				

PRIORITY APPLN. INFO.: US 1991-710734 19910604
WO 1992-US4705 19920604
OTHER SOURCE(S): MARPAT 118:254446
GI

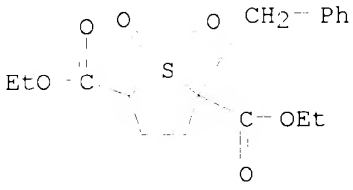


AB The heterocyclic and carbocyclic compds. I [R, R3 = HO, (CHR4)mCOR5, (CHR4)mCH(OH)R4, R4; R1, R2 = H, C1-8-alkyl, Het, C3-10-cycloalkyl, Het-C1-8-alkyl, C2-8-alkenyl, Het-C2-8-alkenyl, C3-10-cycloalkyl-C1-8-alkyl, C3-10-cycloalkyl-C2-8-alkenyl; R4 = R1 or substituted R1; R5 = H, HO, alkoxy, R1, amino, etc.; Z = CH2, CHOH, aminomethylene, S, SO, SO2, SONH, O, CO, substituted imino, etc.; Q = CHOH, S, SO, SO2; m = 0, 1, 2; n = 0, 1] and pharmaceutical acceptable salts were prepd. as HIV protease inhibitors and are useful in treatment of aids. Thus, 4,4-ethylenedioxycyclohexanone nucleophilic underwent addn. with NCCO2Me followed by benzylation with PhCH2Br to give cyclohexanone II, which was similarly carboxylated and benzylated followed by hydrolysis to give the cyclohexanedione III.

IT **147838-72-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and benzylation of)

RN 147838-72-0 CAPLUS

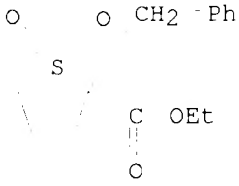
CN Hexaric acid, 2,3,4,5-tetradeoxy-2,5-episulfonyl-2-C-(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)



IT **147838-71-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and ethoxycarbonylation of)

RN 147838-71-9 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-(phenylmethyl)-, ethyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



IT **147838-73-1P**

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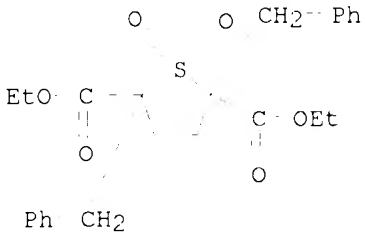
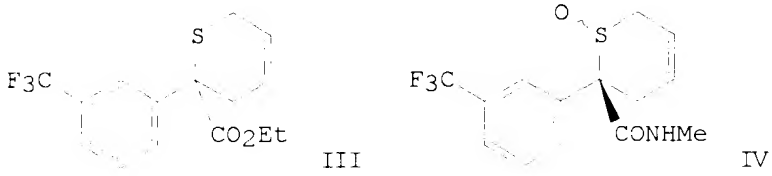
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and redn. of)
RN 147838-73-1 CAPLUS
CN Hexaric acid, 2,3,4,5-tetradeoxy-2,5-episulfonyl-2,5-bis-C-(phenylmethyl)-, diethyl ester (9CI) (CA INDEX NAME)

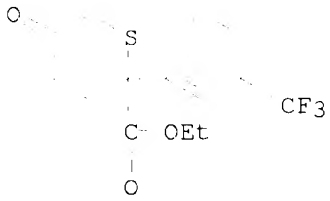


L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1993:124354 CAPLUS
DOCUMENT NUMBER: 118:124354
TITLE: A hetero Diels-Alder approach to novel thiopyran analogs of aprikalim, a potassium channel activator
AUTHOR(S): Pinto, Ivan L.; Buckle, Derek R.; Rami, Harshad K.; Smith, David G.
CORPORATE SOURCE: SmithKline Beecham Pharm., Epsom/Surrey, KT18 5XQ, UK
SOURCE: Tetrahedron Letters (1992), 33(49), 7597-600
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:124354
GI

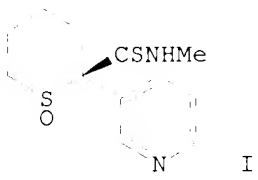


AB .alpha.-Thioketo ester 3-F3CC6H4C(S)CO2Et (I), derived from Bunte salt 2-F3CC6H4C(SSO3Na)CO2Et (II), has been shown to undergo a hetero Diels-Alder reaction with a variety of dienes to form the basis of a concise synthesis of dihydrothiopyran analogs of the potassium channel activator aprikalim. Thus, reacting II with NEt3/CaCl2/EtOH generated I which reacted with H2C:CHCH:CH2 to give thiopyran deriv. III which was converted in 4 steps to aprikalim analogs IV.
IT **146138-09-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 146138-09-2 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-5-oxo-2-[3-(trifluoromethyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

09868894



L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1992:591643 CAPLUS
DOCUMENT NUMBER: 117:191643
TITLE: Synthesis and biological activity of trans-(.+-.)-N-methyl-2-(3-pyridyl)-2-tetrahydrothiopyrancarbothioamide 1-oxide (RP 49356) and analogs: a new class of potassium channel opener
AUTHOR(S): Brown, Thomas J.; Chapman, Robert F.; Cook, David C.; Hart, Terance W.; McLay, Iain M.; Jordan, Roy; Mason, Jonathan S.; Palfreyman, Malcolm N.; Walsh, Roger J. A.; et al.
CORPORATE SOURCE: Dagenham Res. Cent., Rhone-Poulenc Rorer, Dagenham/Essex, RM10 7XS, UK
SOURCE: Journal of Medicinal Chemistry (1992), 35(20), 3613-24
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The synthesis and biol. activity of trans-(.+-.)-N-methyl-2-(3-pyridyl)-2-tetrahydrothiopyrancarbothioamide 1-oxide (I), (RP 49356) and analogs is reported. Thus, I was prepd. from 3-(chloromethyl)pyridine-HCl via oxidn. and cyclization of 4-chlorobutyl 3-pyridylmethyl sulfide to 2-(3-pyridyl)tetrahydrothiopyran 1-oxide. These compds. constitute a new structural class of K+-channel opener. The effects of changes in the pyridyl group, thioamide, and thiane ring on in vitro K+-channel opening activity are discussed. A 3-pyridyl or 3-quinolyl group, a small N-alkyl thioamide function, and a thiane oxide ring, in which the sulfoxide is in a trans relationship to the thioamide, are preferred for activity. Selected compds. were tested i.v. in the normotensive anesthetized rat for hypotensive effects, and the activities reflect their in vitro K+-channel opening activity. This led to further evaluation of compd. I and the selection of the (-)-enantiomer (RP 52891) for development as an antihypertensive and antianginal agent.

IT **143619-71-0P 143620-01-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and amidation of)

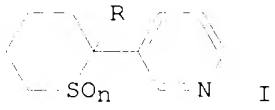
RN 143619-71-0 CAPLUS
RN 143620-01-3 CAPLUS

09868894

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:535923 CAPLUS
DOCUMENT NUMBER: 115:135923
TITLE: Preparation of (1R,2R)-2-(3-pyridyl)tetrahydrothiopyran-2-thiocarboxamide-1-oxides
INVENTOR(S): Aloup, Jean Claude; James, Claude; Margraff, Rodolphe
PATENT ASSIGNEE(S): Rhone-Poulenc Sante, Fr.
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 426557	A1	19910508	EP 1990-403061	19901030
EP 426557	B1	19950222		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
FR 2653770	A1	19910503	FR 1989-14273	19891031
FR 2653770	B1	19920103		
AU 9065548	A1	19910509	AU 1990-65548	19901029
AU 641950	B2	19931007		
PL 164269	B1	19940729	PL 1990-287557	19901029
IL 96160	A1	19951231	IL 1990-96160	19901029
CA 2028985	AA	19910501	CA 1990-2028985	19901030
NO 9004708	A	19910502	NO 1990-4708	19901030
NO 177707	B	19950731		
NO 177707	C	19951108		
ZA 9008679	A	19910828	ZA 1990-8679	19901030
HU 59397	A2	19920528	HU 1990-6945	19901030
HU 212501	B	19960729		
SU 1838311	A3	19930830	SU 1990-4831525	19901030
ES 2068361	T3	19950416	ES 1990-403061	19901030
JP 03153684	A2	19910701	JP 1990-292249	19901031
US 5120852	A	19920609	US 1990-607003	19901031

PRIORITY APPLN. INFO.: FR 1989-14273 19891031
OTHER SOURCE(S): MARPAT 115:135923
GI



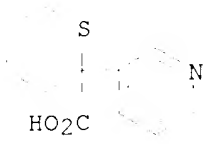
I

AB The title compds. [(1R,2R)-I; R = CSNHR1; R1 = C1-4 alkyl; n = 1] (II) were prepd. by oxidn. of I (R = H, n = 0) (III) and condensation of the product with R1NCS. Thus, (R,S)-III (prepn. given) was stirred 20 h at 20.degree. with cumyl hydroperoxide in aq. CH2Cl2 contg. di-Et (+)-tartrate and Ti(OCHMe2)4 to give, as 1 of 3 products, (1R,2R)-I (R = H, n = 1) which was stirred 10 min at -40 to -35.degree. with MeNCS in liq. NH3 contg. NaNH2 to give II (R1 = Me).

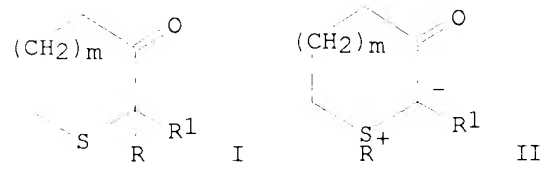
IT **86372-47-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in prepn. of pyridyltetrahydrothiopyranthiocarboxamide oxide)

09868894

RN 86372-47-6 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

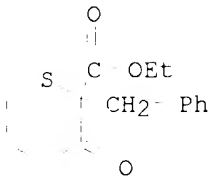


L4 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1991:42500 CAPLUS
DOCUMENT NUMBER: 114:42500
TITLE: Rhodium carbenoid mediated cyclizations. Part 5. Synthesis and rearrangement of cyclic sulfonium ylides; preparation of 6- and 7-membered sulfur heterocycles
AUTHOR(S): Moody, Christopher J.; Taylor, Roger J.
CORPORATE SOURCE: Dep. Chem., Imp. Coll. Sci., Technol. Med., London, SW7 2AY, UK
SOURCE: Tetrahedron (1990), 46(18), 6501-24
CODEN: TETRAB; ISSN: 0040-4020
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:42500
GI



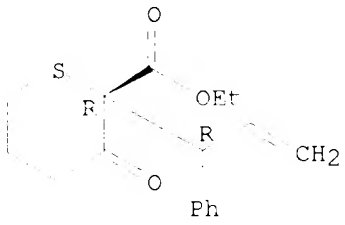
AB The Rh2(OAc)4-catalyzed cyclization of 1,5- and 1,6-diazosulfides RS(CH2)nCOC(:N2)R1 (R = H, CH2Ph, allyl, CH:CHCMe2, (E)-CH2CH:CHPh, R1 = CO2Et, COMe, n = 3, 4) gave thianes I (m = 1) and thiepanes I (m = 2) via cyclic sulfonium ylides II, which in some cases, e.g., II (R = CH2PhEt, R1 = CO2Et, m = 1) could be isolated.
IT **120571-42-8P 120571-46-2P 120571-47-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 120571-42-8 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)

09868894



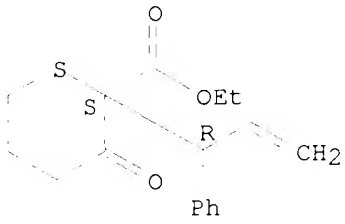
RN 120571-46-2 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 120571-47-3 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:496537 CAPLUS
DOCUMENT NUMBER: 111:96537
TITLE: Captodative substituent effects. 48. Spin delocalization in heterocyclic captodative radicals
AUTHOR(S): Nootens, C.; Merenyi, R.; Janousek, Z.; Viehe, H. G.
CORPORATE SOURCE: Lab. Org. Chem., Univ. Louvain, Louvain-la-Neuve, 1348, Belg.
SOURCE: Bull. Soc. Chim. Belg. (1988), 97(11-12), 1045-54
CODEN: BSCBAG; ISSN: 0037-9646
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:96537
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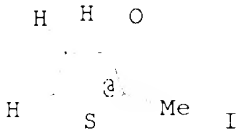


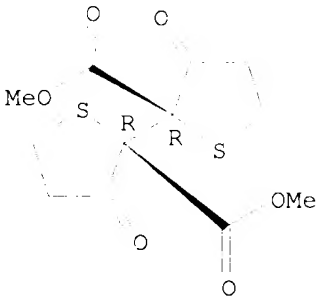
AB ESR of captodative radicals (e.g. I) are measured. Spin delocalization can be derived from different types of hyperfine coupling consts. Synthesis of radical precursors are described.

IT **122096-44-0P 122096-45-1P 122096-47-3P**
122096-52-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 122096-44-0 CAPLUS

CN [2,2'-Bithiophene]-2,2'(3H,3'H)-dicarboxylic acid, tetrahydro-3,3'-dioxo-, dimethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

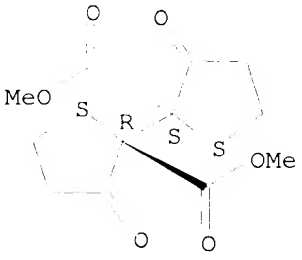
Relative stereochemistry.



RN 122096-45-1 CAPLUS

CN [2,2'-Bithiophene]-2,2'(3H,3'H)-dicarboxylic acid, tetrahydro-3,3'-dioxo-, dimethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 122096-47-3 CAPLUS

CN [2,2'-Bi-2H-thiopyran]-2,2'-dicarboxylic acid, octahydro-3,3'-dioxo-, dimethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.

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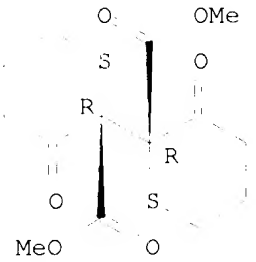
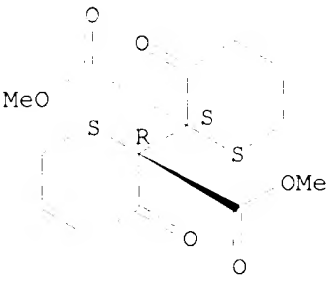


RN 122096-52-0 CAPLUS
CN [2,2'-Bi-2H-thiopyran]-2,2'-dicarboxylic acid, octahydro-3,3'-dioxo-, dimethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:212554 CAPLUS
DOCUMENT NUMBER: 110:212554
TITLE: Rhodium carbenoid-mediated cyclizations. Synthesis and rearrangement of cyclic sulfonium ylides
AUTHOR(S): Moody, Christopher J.; Taylor, Roger J.
CORPORATE SOURCE: Dep. Chem., Imperial Coll. Sci., Technol. + Med., London, SW7 2AY, UK
SOURCE: Tetrahedron Lett. (1988), 29(46), 6005-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:212554
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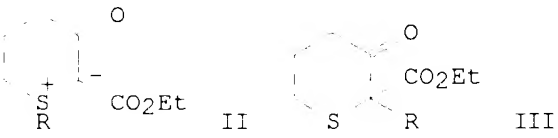

AB Treatment of diazo sulfides with Rh2(OAc)4 in C6H6 gives 6- and 7-membered cyclic sulfonium ylides; although S-benzyl and S-Et ylides can be isolated, they rearrange, or eliminate C2H4, resp., on heating; the S-allyl ylides cannot be isolated since they undergo spontaneous [2,3]-sigmatropic rearrangement. Thus, decompn. of RS(CH2)3COC(:N2)CO2Et (I; R = PhCH2, Et) give cyclic ylides II, which rearrange to thiopyrans

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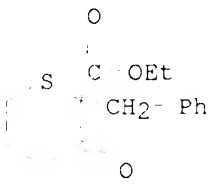
III (R = PhCH2, H, resp.), upon heating. I (R = allyl), however, gives III (R = allyl) directly.

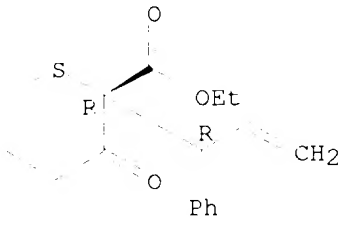
IT **120571-42-8P 120571-46-2P 120571-47-3P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 120571-42-8 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(phenylmethyl)-, ethyl ester (9CI) (CA INDEX NAME)



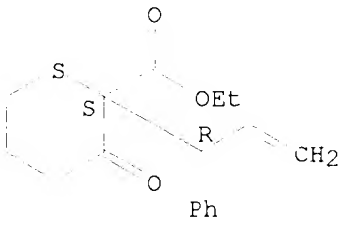
RN 120571-46-2 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R*,R*)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 120571-47-3 CAPLUS
CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-3-oxo-2-(1-phenyl-2-propenyl)-, ethyl ester, (R*,S*)- (9CI) (CA INDEX NAME)

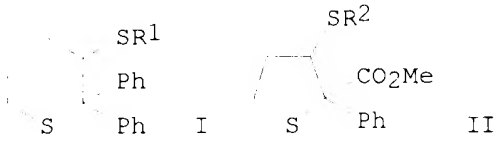
Relative stereochemistry.



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:549494 CAPLUS
DOCUMENT NUMBER: 109:149494
TITLE: A Wittig type rearrangement of 2-methoxycarbonyl-2-phenyl-1,3-dithiane and 2,2-diphenyl-1,3-dithiepane
AUTHOR(S): Inoue, Yoshihiko; Tanimoto, Shigeo
CORPORATE SOURCE: Inst. Chem. Res., Kyoto Univ., Uji, 611, Japan
SOURCE: Bull. Inst. Chem. Res., Kyoto Univ. (1987), 65(3), 121-4

09868894

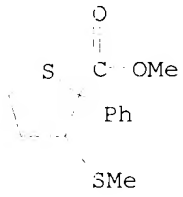
CODEN: BICRAS; ISSN: 0023-6071
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



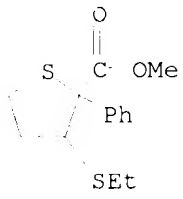
AB The title dithiepane was treated with LiN(CHMe2)2 and R1I (R1 = Me, Et) to give tetrahydrothiopyranyl sulfides I. Thiophanes II (R2 = C1 4 alkyl) were obtained from a disubstituted 1,3-dithiane deriv. and alkyl iodides and BuBr.

IT **116690-60-9P 116690-61-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 116690-60-9 CAPLUS
CN 2-Thiophenecarboxylic acid, tetrahydro-3-(methylthio)-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



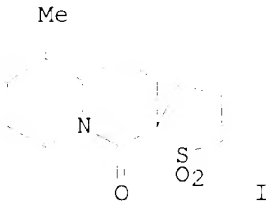
RN 116690-61-0 CAPLUS
CN 2-Thiophenecarboxylic acid, 3-(ethylthio)tetrahydro-2-phenyl-, methyl ester (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:186601 CAPLUS
DOCUMENT NUMBER: 108:186601
TITLE: Spiro derivatives of tetrahydrothiophene. Phase transfer catalyzed alkylation of the 2-substituted tetrahydrothiophene system and the synthesis of spiro quinolizidine derivative
AUTHOR(S): Wrobel, Jerzy T.; Hejchman, Elzbieta

09868894

CORPORATE SOURCE: Chem. Dep., Warsaw Univ., Warsaw, 02-093, Pol.
SOURCE: Bull. Pol. Acad. Sci., Chem. (1987), 35(1-2), 21-9
CODEN: BPACEQ
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

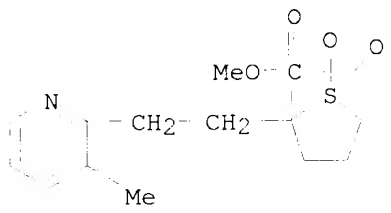


AB The phase transfer catalyzed alkylation of 2-carbomethoxy- and 2-cyanotetrahydrothiophene, their sulfoxides, and sulfones is described. Key spiro deriv. I of quinolizidine was obtained from 2-(2-bromoethyl)-3-methylpyridine in three steps. Two stereoisomers of I were sepd. and characterized.

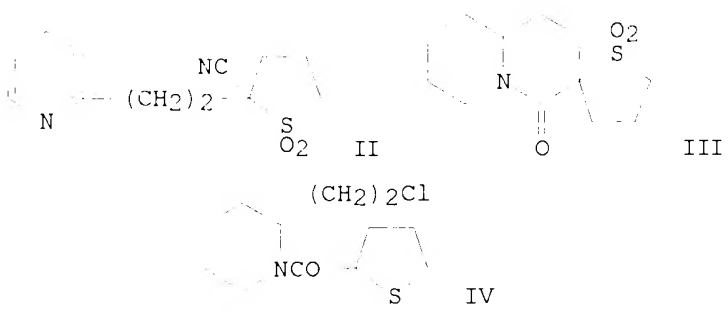
IT **113990-96-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and cyclization of)

RN 113990-96-8 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-[2-(3-methyl-2-pyridinyl)ethyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1988:37629 CAPLUS
DOCUMENT NUMBER: 108:37629
TITLE: Spiro derivatives of tetrahydrothiophene. Synthesis of the quinolizidine .ltbbrac.3-spiro-2'.rtbbrac.tetrahydrothiophene system using solid/liquid or liquid/liquid phase-transfer catalysis
AUTHOR(S): Wrobel, Jerzy T.; Hejchman, Elzbieta
CORPORATE SOURCE: Dep. Chem., Univ. Warsaw, Warsaw, PL-02-093, Pol.
SOURCE: Synthesis (1987), (5), 452-5
CODEN: SYNTBF; ISSN: 0039-7881
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:37629
GI

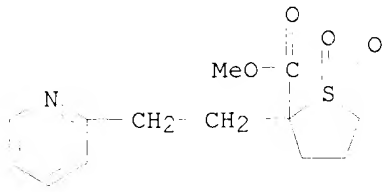


AB S-Cyanomethylation of Cl(CH2)3SH with ClCH2CN gave 84% Cl(CH2)3SCH2CN which was cyclized with aq. NaOH in the presence of PhCH2NEt3+Cl- to give 2-cyanotetrahydrothiophene (I) in 80% yield. Oxidn. of I with H2O2 in the presence of WO3 gave its S, S-dioxide, which was deprotonated and alkylated with 2-(2-bromethyl)pyridine to give II. Hydrolysis, esterification, hydrogenation, and cyclization gave the title spiro compd. III as a mixt. of stereoisomers. Hydrolysis of I, acid chloride formation, and condensation with 2-(2-chloroethyl)piperidine gave carboxamide IV. S-Oxidn. and cyclization under phase transfer conditions gave III as a single stereoisomer.

IT **112212-97-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., hydrogenation, and cyclization of)

RN 112212-97-2 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-[2-(2-pyridinyl)ethyl]-, methyl ester, 1,1-dioxide (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1983:453605 CAPLUS

DOCUMENT NUMBER: 99:53605

TITLE: Heterocyclic nitriles and their use for preparing medicines

INVENTOR(S): Aloup, Jean Claude; Bouchaudon, Jean; Farge, Daniel; James, Claude

PATENT ASSIGNEE(S): Rhone-Poulenc Industries, Fr.

SOURCE: Fr. Demande, 25 pp.
CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2511371	A1	19830218	FR 1981-15527	19810811

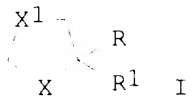
09868894

FR 2511371	B1	19840427		
EP 73704	A1	19830309	EP 1982-401501	19820806
EP 73704	B1	19861112		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 23530	E	19861115	AT 1982-401501	19820806
DK 8203594	A	19830212	DK 1982-3594	19820810
DK 158949	B	19900806		
DK 158949	C	19910311		
JP 58038281	A2	19830305	JP 1982-138063	19820810
JP 03000394	B4	19910107		
AU 8287022	A1	19830512	AU 1982-87022	19820810
ZA 8205798	A	19830629	ZA 1982-5798	19820810
HU 30055	O	19840228	HU 1982-2584	19820810
HU 190029	B	19860828		
US 4456758	A	19840626	US 1982-406998	19820810
CA 1206149	A1	19860617	CA 1982-409078	19820810
FI 8202801	A	19830212	FI 1982-2801	19820811
ES 514906	A1	19830416	ES 1982-514906	19820811

PRIORITY APPLN. INFO.: FR 1981-15527 19810811
EP 1982-401501 19820806

OTHER SOURCE(S): CASREACT 99:53605

GI



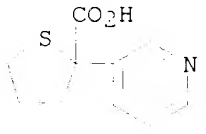
I

AB Nitriles I (X = O, S; X1 = S, CH2, CH2CH2; R = cyano; R1 = N heterocyclic) were prepd. as intermediates for antihypertensive (no data) I (R = thiocarbamoyl). Thus 3-pyridylacetonitrile was treated with Br(CH2)3SCN to give I (X = S, X1 = CH2, R = cyano, R1 = 3-pyridyl) which was hydrolyzed to the acid, converted to the acid chloride, amidated with MeNH2, and thiolated with Lawesson's reagent to give I (X = S, X1 = CH2, R = CSNHMe, R1 = 3-pyridyl).

IT **86372-40-9P 86372-47-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and chlorination of)

RN 86372-40-9 CAPLUS

CN 2-Thiophenecarboxylic acid, tetrahydro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)



RN 86372-47-6 CAPLUS

CN 2H-Thiopyran-2-carboxylic acid, tetrahydro-2-(3-pyridinyl)- (9CI) (CA INDEX NAME)

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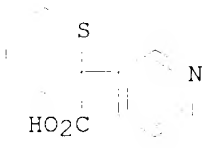

L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1968:428568 CAPLUS
DOCUMENT NUMBER: 69:28568
TITLE: Theoretical and spectroscopic studies of indigo dyes. VII. Preparation of 3,3'-dioxo-4,4,4',4'-tetramethyl-2,2'-bithiolanylidene, a compound with the basic chromophore system of thioindigo dyes
AUTHOR(S): Hermann, Heinrich; Luettke, Wolfgang
CORPORATE SOURCE: Univ. Goettingen, Goettingen, Ger.
SOURCE: Chem. Ber. (1968), 101(5), 1708-14
CODEN: CHBEAM
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB The treatment of ClCOCH2SCH2CMe2COCl with tert-BuOH in pyridine gave 4,4-dimethyl-2-tert-butoxycarbonylthiolan-3-one (I, R = CO2CMe3), which reacted with K3Fe(CN)6 in CF3CO2H to give 3,3'-dioxo-4,4,4',4'-tetramethyl-trans-2,2'-bithiolanylidene (II) via 3,3'-dioxo-4,4,4',4'-tetramethyl-2,2'-bis-tert-butoxycarbonyl-2,2'-bithiolanyl and 3,3'-dioxo-4,4,4',4'-tetramethyl-2,2'-bithiolanyl. II was also prepd. by the dehydrodimerization of I (R = H).
IT **20048-22-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 20048-22-0 CAPLUS
CN [2,2'-Bithiophene]-2,2'(3H,3'H)-dicarboxylic acid, tetrahydro-4,4,4',4'-tetramethyl-3,3'-dioxo-, di-tert-butyl ester (8CI) (CA INDEX NAME)